

10/513699

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Classification Data  
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added  
for CERAB, COMPUAB, ELCOM, and SOLIDSTATE  
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING  
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE  
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced  
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced  
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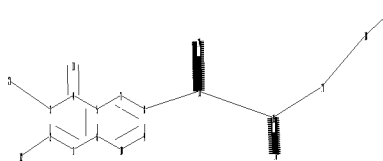
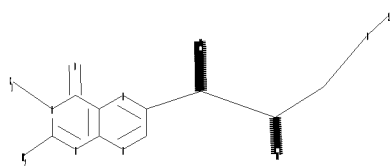
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10/513699



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chain nodes :
11 12 13 14 15 16 17 18 19 21
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-12 3-21 4-11 8-13 13-14 13-15 15-16 15-17 17-18 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 2-12 3-4 3-21 4-5 4-11 13-14 15-16 17-18
exact bonds :
8-13 13-15 15-17 18-19
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :
```

G1:C,H

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 21:CLASS
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L1 STRUCTURE UPLOADED

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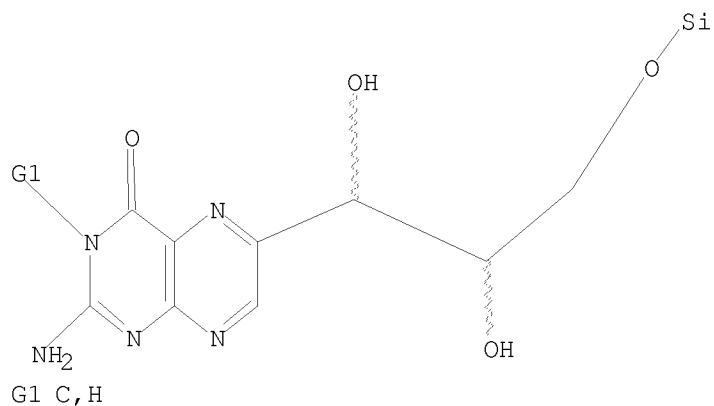
L1 HAS NO ANSWERS

L1 STR

<12/04/2007>

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Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:30:44 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2 TO 124

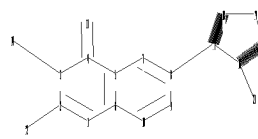
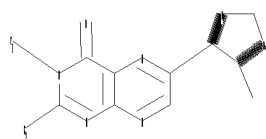
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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10/513699



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ring nodes :
1 2 3 4 5 6 7 8 9 10 16 17 18 19 20
chain bonds :
2-12 3-14 4-11 8-17 16-21
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 16-17 16-20 17-18 18-19
19-20
exact/norm bonds :
1-2 1-6 2-3 2-12 3-4 3-14 4-5 4-11 16-17 16-20 17-18 18-19 19-20
exact bonds :
8-17 16-21
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :
```

G1:C,H

G2:S,N

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 14:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS
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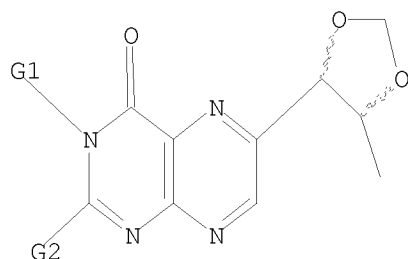
L3 STRUCTURE UPLOADED

<12/04/2007>

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=> d 13  
L3 HAS NO ANSWERS  
L3 STR



G1 C,H  
G2 S,N

Structure attributes must be viewed using STN Express query preparation.

=> s 13 full  
FULL SEARCH INITIATED 14:34:07 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS 6 ANSWERS  
SEARCH TIME: 00.00.01

L4 6 SEA SSS FUL L3

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FILE COVERS 1907 - 1 Mar 2009 VOL 150 ISS 10  
FILE LAST UPDATED: 27 Feb 2009 (20090227/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s l4 full

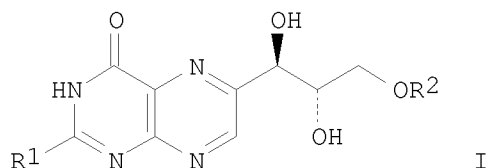
L5                    5 L4

=> d ibib abs hitstr tot

10/513699

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2005:472157 CAPLUS  
DOCUMENT NUMBER: 143:7534  
TITLE: Preparation of tetrahydrobiopterin and analogs of  
tetrahydrobiopterin  
INVENTOR(S): Moser, Rudolf; Groehn, Viola; Schumacher, Andreas;  
Martin, Pierre  
PATENT ASSIGNEE(S): Biomarin Pharmaceutical Inc., USA; Merck Eprova A.-G.  
SOURCE: PCT Int. Appl., 55 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2005049614          | A2   | 20050602 | WO 2004-US38313 | 20041117   |
| WO 2005049614          | A3   | 20070308 |                 |            |
| W:                     | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW |          |                 |            |
| RW:                    | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| AU 2004290692          | A1   | 20050602 | AU 2004-290692  | 20041117   |
| CA 2545484             | A1   | 20050602 | CA 2004-2545484 | 20041117   |
| EP 1776364             | A2   | 20070425 | EP 2004-819154  | 20041117   |
| R:                     | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK, YU   |          |                 |            |
| JP 2007534637          | T  | 20071129 | JP 2006-539994  | 20041117   |
| US 20070244322         | A1   | 20071018 | US 2007-579106  | 20070216   |
| PRIORITY APPLN. INFO.: |  |          | US 2003-520367P | P 20031117 |
|                        |  |          | US 2003-520368P | P 20031117 |
|                        |  |          | WO 2004-US38313 | W 20041117 |
| OTHER SOURCE(S):       | CASREACT 143:7534; MARPAT 143:7534   |          |                 |            |
| GI                     |  |          |                 |            |



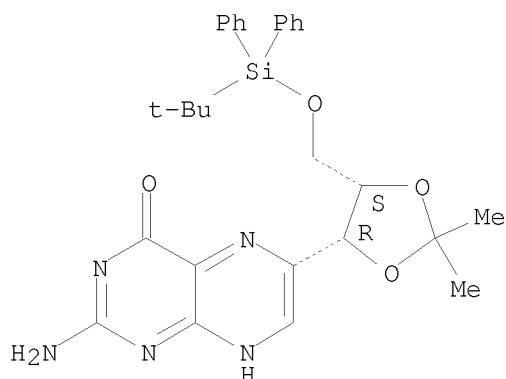
AB A process for the preparation of tetrahydrobiopterin and its analogs, e.g. I  
[R1 = alkylamino, arylamino, alkylthio, alkylaminomethyleneimino, R2 = H;  
R1 = alkylamino, alkylthio, Me2NCH2N, R2 = Me2CHEt2Si, (Me3CO)Ph2Si,

MePh<sub>2</sub>Si, Me<sub>3</sub>CMe<sub>2</sub>Si, Me<sub>3</sub>C(MeO)PhSi, (Me<sub>3</sub>C)<sub>2</sub>MeSi, etc.], from neopterin and/or 6-substituted pterins with an improved yield and a high stereoselectivity is disclosed. Also disclosed herein are novel individual intermediates prepared in the preparation of tetrahydrobiopterin, such as selectively protected neopterin useful for the preparation of tetrahydrobiopterin. As an example, L-neopterin was reacted with DMF-acetal to give the 2-(dimethylamino)methylene derivative I (R<sub>1</sub> = Me<sub>2</sub>NCH:N, R<sub>2</sub> = H) (II). II was then silylated to I (R<sub>2</sub> = Me<sub>3</sub>CPh<sub>2</sub>Si) which could be deprotected to I (R<sub>1</sub> = NH<sub>2</sub>).

IT 852547-48-9P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of tetrahydrobiopterin and analogs)

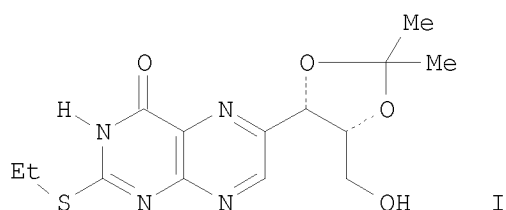
RN 852547-48-9 CAPLUS  
 CN 4(3H)-Pteridinone, 2-amino-6-[(4R,5S)-5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-2,2-dimethyl-1,3-dioxolan-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.



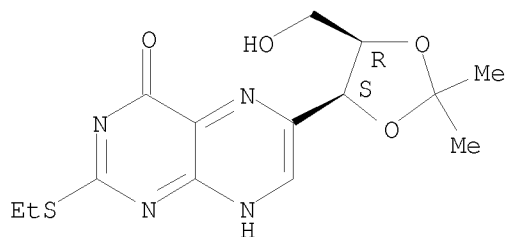
10/513699

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2000:499841 CAPLUS  
DOCUMENT NUMBER: 133:207729  
TITLE: Synthesis of 2-ethylthio-6-(3-hydroxy-1,2-O-isopropylidenepropyl)pteridin-4(3H)-one  
AUTHOR(S): Kang, Yonghan; Kim, Seungjin; Myoung, Youngchan; Baek, Daejin  
CORPORATE SOURCE: Department of Chemistry, Hanyang University, Ansan, 425-791, S. Korea  
SOURCE: Heterocycles (2000), 53(7), 1551-1557  
CODEN: HTCYAM; ISSN: 0385-5414  
PUBLISHER: Japan Institute of Heterocyclic Chemistry  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 133:207729  
GI



AB A strategy has been described for the synthesis of 2-ethylthio-6-(3-hydroxy-1,2-O-isopropylidenepropyl)pteridin-4(3H)-one (I), which can be used as a useful intermediate for the conversion of neopterin to biopterin.  
IT 290370-92-2P  
RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of 2-ethylthio-6-(3-hydroxy-1,2-O-isopropylidenepropyl)pteridin-4(3H)-one, a useful intermediate in the synthesis of biopterin)  
RN 290370-92-2 CAPLUS  
CN 4(3H)-Pteridinone, 2-(ethylthio)-6-[(4S,5R)-5-(hydroxymethyl)-2,2-dimethyl-1,3-dioxolan-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:536893 CAPLUS

DOCUMENT NUMBER: 122:314347

ORIGINAL REFERENCE NO.: 122:57165a, 57168a

TITLE: Pteridines CV. Selective N(3)- and O4-alkylation of L-biopterin: A convenient synthesis of 3- and O4-methyl-L-biopterin and the versatile N2-(N,N-dimethylaminomethylene)-N(3)-p-nitrophenethyl-protected L-biopterin

AUTHOR(S): Hanaya, Tadashi; Torigoe, Kiyoshi; Soranaka, Kazuyuki; Yamamoto, Horoshi; Qizheng, Yao; Pfleiderer, Wolfgang

CORPORATE SOURCE: Faculty Science, Okayama University, Okayama, 700, Japan

SOURCE: Pteridines (1995), 6(1), 1-7

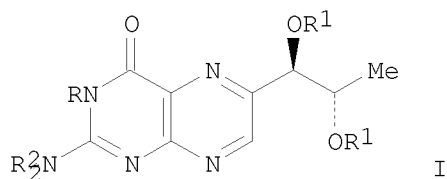
CODEN: PTRDEO; ISSN: 0933-4807

PUBLISHER: International Society of Pteridinology

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Treatment of L-biopterin with N,N-dimethylformamide dimethyl- (or diethyl)acetal and then with acetic anhydride in pyridine gave 1',2'-di-O-acetyl-N2-(N,N-dimethylaminoethylene)-L-biopterin, which was converted by the Mitsunobu reaction into 3-Me and 3-p-nitrophenethyl derivs. The protective groups on the side chain diols and N2 of these compds. were selectively cleaved to furnish biopterins I (R = Me, R1 = H, R22 = CHNMe2; R = 4-O2NC6H4CH2CH2, R1 = H, R22 = CHNMe2; R = Me, 4-O2NC6H4CH2CH2, R1 = R2 = H), among which I (R = Me, R1 = R2 = H) is naturally occurring 3-methyl-L-biopterin and I (R = 4-O2NC6H4CH2CH2, R1 = H, R22 = CHNMe2) is N2,N(3)-protected biopterin, a versatile intermediate for various reactions on the side-chain diol. In contrast, the same Mitsunobu reactions of tri-N2:1',2'-O-acetyl-L-biopterin afforded O4-Me and O4-NPE derivs., both of which yielded O4-methyl-L-biopterin and subsequently led to 4-amino-L-biopterin.

IT 163132-77-2P 163252-46-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of alkyl biopterins)

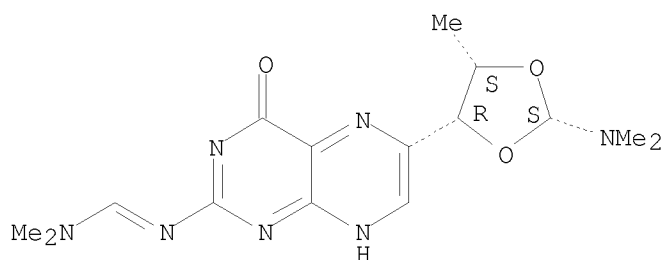
RN 163132-77-2 CAPLUS

CN Methanimidamide, N'-[6-[2-(dimethylamino)-5-methyl-1,3-dioxolan-4-yl]-3,4-dihydro-4-oxo-2-pteridinyl]-N,N-dimethyl-,  
[2S-(2 $\alpha$ , 4 $\alpha$ , 5 $\alpha$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

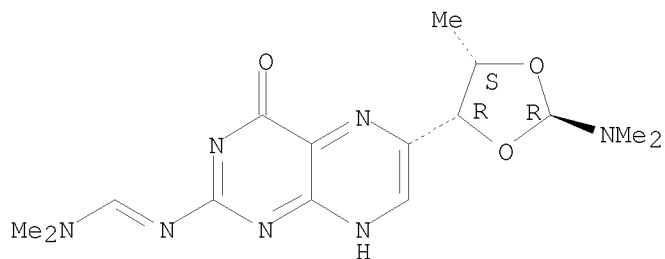
10/513699



RN 163252-46-8 CAPLUS

CN Methanimidamide, N'-[6-[2-(dimethylamino)-5-methyl-1,3-dioxolan-4-yl]-3,4-dihydro-4-oxo-2-pteridiny]-N,N-dimethyl-, [2R-(2 $\alpha$ , 4 $\beta$ , 5 $\beta$ )]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1990:55443 CAPLUS

DOCUMENT NUMBER: 112:55443

ORIGINAL REFERENCE NO.: 112:9511a,9514a

TITLE: Synthesis of (-)-biopterin using (S)-ethyl lactate as a starting material

AUTHOR(S): Kikuchi, Haruhiko; Mori, Kenji

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Agricultural and Biological Chemistry (1989), 53(8), 2095-100

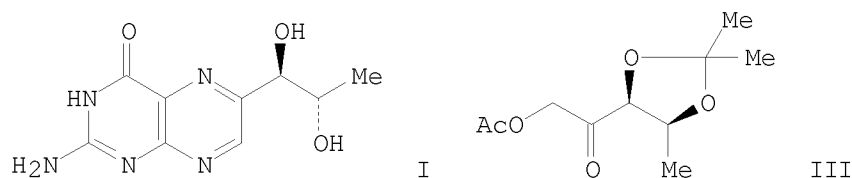
CODEN: ABCHA6; ISSN: 0002-1369

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 112:55443

GI



AB (-)-Biopterin (I) was synthesized from (1S,2S)-1-(1,3-dithian-2-yl)propane-1,2-diol (II), which was derived from com. available Et (S)-lactate. II was converted to ketone III through a six-step sequence. III was submitted to condensation with 3,5,6-triaminopyrimidinol, and followed by oxidation to afford isopropylidenebiopterin which was deprotected to give I.

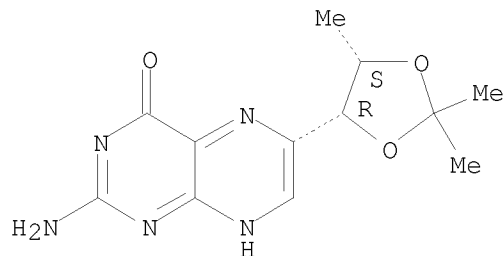
IT 124600-40-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deblocking of)

RN 124600-40-4 CAPLUS

CN 4(1H)-Pteridinone, 2-amino-6-(2,2,5-trimethyl-1,3-dioxolan-4-yl)-, (4R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:126936 CAPLUS

DOCUMENT NUMBER: 76:126936

ORIGINAL REFERENCE NO.: 76:20553a,20556a

TITLE: Pterin chemistry. 41. New synthesis of DL-biopterin

AUTHOR(S): Viscontini, M.; Frei, W. F.

CORPORATE SOURCE: Org.-Chem. Inst., Univ. Zurich, Zurich, Switz.

SOURCE: Helvetica Chimica Acta (1972), 55(2), 574-9

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

AB D,L-Biopterin was prepared in .apprx.40% yield by condensing D,L-2,3-O-isopropylidene-4-methylerythrose (I) with 2,4,5-triamino-6-hydroxypyrimidine, and oxidation with air to give D,L-1',2'-O-isopropylidenebiopterin. The isopropylidene protective group was easily hydrolyzed off to give isomer-free D,L-biopterin. I was prepared by oxidizing trans-crotonic acid to D,L-erythro-2,3-dihydroxybutyric acid, and introducing the protective group before the extra C.

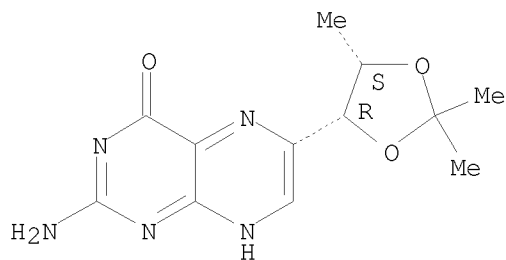
IT 36183-32-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 36183-32-1 CAPLUS

CN 4(3H)-Pteridinone, 2-amino-6-[(4R,5S)-2,2,5-trimethyl-1,3-dioxolan-4-yl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



10/513699

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COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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L1 STRUCTURE UPLOADED  
L2 0 S L1  
L3 STRUCTURE UPLOADED  
L4 6 S L3 FULL

FILE 'CAPLUS' ENTERED AT 14:34:11 ON 01 MAR 2009

L5 5 S L4 FULL

FILE 'STNGUIDE' ENTERED AT 14:35:22 ON 01 MAR 2009

=> log y  
COST IN U.S. DOLLARS

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 0.63       | 218.81  |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL   |
|------------|---------|
| ENTRY      | SESSION |
| 0.00       | -4.10   |

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STN INTERNATIONAL LOGOFF AT 14:40:57 ON 01 MAR 2009